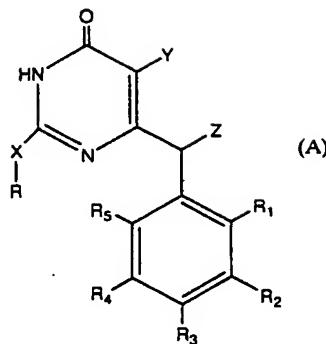


WHAT IS CLAIMED IS:

1. A compound of the formula:

5



wherein:

X is -0, -CH₂, -CHK (wherein K is -H, -C₁₋₄alkyl, -C₃₋₆cycloalkyl), -S, -NK (wherein K is -H, -C₁₋₄alkyl, -C₃₋₆cycloalkyl), -aryl, -arylalkyl;

10 R is -H, -C₁₋₄alkyl (containing one or more of heteroatoms like O, S, N), -C₃₋₆cycloalkyl (containing one or more of heteroatoms like O, S, N), -aryl, arylalkyl, heterocycle;

Y is -H, -C₁₋₄alkyl, -C₃₋₆cycloalkyl;

Z is -H, -C₁₋₄alkyl, -C₃₋₆cycloalkyl;

15 R₁ is -H, -C₁₋₄alkyl, halogen, -NO₂, -OW (wherein W is -H, -CH₃, -aryl), -SW (wherein W is -H, -CH₃, -aryl);

R₂ is -H, -C₁₋₄alkyl, -halogen, -NO₂, -OW (wherein W is -H, -CH₃, -aryl), -SW (wherein W is -H, -CH₃, -aryl);

R₃ is -H, -C₁₋₄alkyl, -halogen, -NO₂, -OW (wherein W is -H, -CH₃, aryl), -SW (wherein W is -H, -CH₃, -aryl);

20 R₄ is -H, -C₁₋₄alkyl, -halogen, -NO₂, -OW (wherein W is -H, -CH₃, -aryl), -SW (wherein W is -H, -CH₃, -aryl);

R₅ is -H, -C₁₋₄alkyl, -halogen, -NO₂, -OW (wherein W is -H, -CH₃, -aryl), -SW (wherein W is -H, -CH₃, -aryl), or a pharmaceutically acceptable salt or soluble derivative thereof.

25

2. A compound having formula A as claimed in claim 1 wherein

| | | | | | | | | |
|-------|-------|-------|----------|--------------------|--------------------|--------------------|--------------------|--------------------|
| X = O | Y = H | Z = H | R = sBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| X = O | Y = H | Z = H | R = cPen | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |

5 3. A compound having formula A as claimed in claim 1 wherein

| | | | | | | | | | |
|-------|-------|---------------------|---------------------|----------------------------------|---------------------|--------------------|--------------------|---------------------|---------------------|
| X = S | Y = H | Z = H | R = sBu | R ₁ = NO ₂ | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = H | |
| X = S | Y = H | Z = H | R = sBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = H | |
| X = S | Y = H | Z = H | R = CH ₃ | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = H | R = iPr | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| 10 | X = S | Y = H | Z = H | R = nBu | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl |
| X = S | Y = H | Z = H | R = iBu | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = H | R = sBu | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = H | R = cPen | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = H | R = cEs | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| 15 | X = S | Y = H | Z = H | R = CH ₃ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| X = S | Y = H | Z = H | R = iPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = H | R = nBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = H | R = iBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = H | R = sBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| 20 | X = S | Y = H | Z = H | R = cPen | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| X = S | Y = H | Z = H | R = cEs | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = CH ₃ | R = iPr | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = CH ₃ | R = cPen | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = CH ₃ | R = cEs | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| 25 | X = S | Y = H | Z = Et | R = iPr | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl |
| X = S | Y = H | Z = Et | R = cPen | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = Et | R = cEs | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = Cl | |
| X = S | Y = H | Z = CH ₃ | R = iPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = CH ₃ | R = iBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| 30 | X = S | Y = H | Z = CH ₃ | R = nBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| X = S | Y = H | Z = CH ₃ | R = sBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = CH ₃ | R = cPen | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = CH ₃ | R = cEs | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = Et | R = iPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| 35 | X = S | Y = H | Z = Et | R = cPen | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| X = S | Y = H | Z = Et | R = cEs | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F | |
| X = S | Y = H | Z = CH ₃ | R = cEs | -CH=CH-CH=CH | | R ₃ = H | R ₄ = H | R ₅ = H | |
| X = S | Y = H | Z = H | R = sBu | R ₁ = Cl | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = H | |

| | | | | | | | | |
|---------|------------|------------|------------|-------------|-----------|-----------|-----------|------------|
| $X = S$ | $Y = CH_3$ | $Z = H$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = H$ |
| $X = S$ | $Y = CH_3$ | $Z = H$ | $R = sBu$ | $R_1 = Cl$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = Cl$ |
| $X = S$ | $Y = CH_3$ | $Z = H$ | $R = CH_3$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| $X = S$ | $Y = CH_3$ | $Z = H$ | $R = iPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| 5 | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = nBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = iBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = cPen$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = cEs$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| 10 | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = CH_3$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = cPe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = Et$ | $Z = H$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = iPr$ | $Z = H$ | $R = iPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| 15 | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = iPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = nBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = iBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = CH_3$ | $Z = CH_3$ | $R = cEs$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = H$ | $Z = H$ | $R = MeSMe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| 20 | $X = S$ | $Y = CH_3$ | $Z = H$ | $R = MeSMe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = Et$ | $Z = H$ | $R = MeSMe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |
| | $X = S$ | $Y = iPr$ | $Z = H$ | $R = MeSMe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ |

4. A compound having formula A as claimed in claim 1 wherein

| | | | | | | | | | |
|----|----------|------------|------------|-------------|-----------|-----------|-----------|-----------|-----------|
| 25 | $X = NH$ | $Y = H$ | $Z = H$ | $R = Et$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = nPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = iPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = cPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = nBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| 30 | $X = NH$ | $Y = H$ | $Z = H$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = MeOEt$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = cPe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = H$ | $R = cEs$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = H$ | $Z = CH_3$ | $R = cPe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| 35 | $X = NH$ | $Y = CH_3$ | $Z = H$ | $R = iPr$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = CH_3$ | $Z = H$ | $R = sBu$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = CH_3$ | $Z = H$ | $R = cPe$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |
| | $X = NH$ | $Y = CH_3$ | $Z = H$ | $R = benz$ | $R_1 = F$ | $R_2 = H$ | $R_3 = H$ | $R_4 = H$ | $R_5 = F$ |

| | | | | | | | | | |
|----|--------|---------------------|---------------------|-----------------------------------|--------------------|--------------------|--------------------|--------------------|--------------------|
| | X = NH | Y = CH ₃ | Z = CH ₃ | R = cPe | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = H | R = CH ₃ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = CH ₃ | Z = H | R = CH ₃ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = CH ₃ | Z = H | R = nPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| 5 | X = NH | Y = CH ₃ | Z = H | R = nBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = CH ₃ | R = CH ₃ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = CH ₃ | R = nPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = CH ₃ | R = iPr | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = CH ₃ | R = nBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| 10 | X = NH | Y = H | Z = CH ₃ | R = sBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = H | Z = CH ₃ | R = cEs | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = CH ₃ | Z = CH ₃ | R = CH ₃ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = CH ₃ | Z = CH ₃ | R = nBu | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = NH | Y = CH ₃ | Z = CH ₃ | R = cEs | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| 15 | X = N | Y = H | Z = H | R=(CH ₃) ₂ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=Me-Pip | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=Morph | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=S-morp | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=Piper | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| 20 | X = N | Y = H | Z = H | R=Pyrroli | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=Et ₂ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = H | Z = H | R=(nPr) ₂ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = CH ₃ | Z = H | R=(CH ₃) ₂ | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = CH ₃ | Z = H | R=Me-Pip | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| 25 | X = N | Y = CH ₃ | Z = H | R=Morph | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |
| | X = N | Y = CH ₃ | Z = H | R=S-morp | R ₁ = F | R ₂ = H | R ₃ = H | R ₄ = H | R ₅ = F |

5. A pharmaceutically acceptable salt or soluble derivative of a compound of claim 1.
6. A process for the preparation of a compound having formula A as claimed in claim 1 wherein X = 0, wherein the proper methyl arylacetylalkylacetate is reacted with O-methylisourea in presence of calcium hydroxide; the so obtained 2-O-methyl(5-alkyl)-6-benzyl(substituted)uracils are reacted with the proper potassium alkoxide according to scheme A.
7. A process for the preparation of a compound having formula A as claimed in claim 1 wherein X = S, wherein the proper ethyl arylacetylalkylacetate is reacted with thiourea in presence of sodium methoxide; the so obtained 5-alkyl-6-benzyl(substituted)-2-

thiouracils are reacted with methyl iodide or with an alkyl halide in a basic medium according to scheme B.

8. A process for the preparation of the compounds having formula A as claimed in claim 1 wherein X = NK (wherein K is -H, -C₁₋₄alkyl, -C₃₋₆cycloalkyl), wherein the proper S-methyl(5-alkyl)-6-benzyl(substituted)-2-thiouracil is reacted with the proper amine according to scheme C.
9. A method of preventing infection of HIV, or of treating infection by HIV or of treating AIDS, comprising administering to a mammal an effective amount of a compound as claimed in claim 1 or a pharmaceutically acceptable salt or soluble derivative thereof.
10. A pharmaceutical composition useful for inhibiting HIV reverse transcriptase, comprising an effective amount of a compound claimed in claim 1 or a pharmaceutically acceptable salt or soluble derivative thereof, and a pharmaceutically acceptable carrier.
11. A pharmaceutical composition useful for preventing or treating infection of HIV or for treating AIDS, comprising an effective amount of a compound as claimed in claim 1 or a pharmaceutically acceptable salt or soluble derivative thereof, and a pharmaceutically acceptable carrier.
12. A method of preventing infection of HIV, or of treating infection by HIV or of treating AIDS, comprising administering to a mammal an effective amount of a compound as claimed in claim 1 or a pharmaceutically acceptable salt or soluble derivative thereof in combination with another anti-HIV agent selected from the group consisting of abacavir, zidovudine, BILA 1906, BILA 2185, BM+51.0836: triazoloisoindolinone derivative, BMS 186,318: aminodiol derivative HIV-1 protease inhibitor, d4API, stavudine, efavirenz, HBY097, HEPT, KNI-272, L-697,593, L-735,524, L-697,661, L-FDDC, L-FDOC, nevirapine, foscarnet, PMEA, PMPA, Ro 31-8959, RPI-3121, SC-52151, SC-55389A, TIBO R82150, TIBO 82913, TSAO-m3T, U90152, UC: thiocarboxanilide derivatives, UC-781, UC-82, VB 11,328, amprenavir, XM 323, delavirdine, famciclovir, ganciclovir, penciclovir, indinavir, nelfinavir, ritonavir, saquinavir, DDI, DDC, Delavirdine, β -LddA, β -L-3'-azido-d5FC, carbovir, acyclovir, interferon, stavudine, (3'-azido-2',3'-dideoxy-5-methyl-cytidine), 3'-azido nucleosides, β -D-dioxolane nucleosides such as β -D-dioxolanylguanine (DXG), β -D-dioxolanyl-2,6-diaminopurine (DAPD), and β -D-dioxolanyl-6-chloropurine (ACP), D4T, FTC, 3TC, AZDU, and amprenavir.